



Patent
Attorney Docket No. 034074-793

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of

Jing Wu et al.

Group Art Unit: Unassigned

Application No.: 10/777,247

Examiner: Unassigned

Filing Date: February 13, 2004

Confirmation No.: 4483

Title: CYCLOALKYL, LACTAM, LACTONE AND RELATED COMPOUNDS, PHARMACEUTICAL COMPOSITIONS COMPRISING SAME, AND METHODS FOR INHIBITING B-AMYLOID PEPTIDE RELEASE AND/OR ITS SYNTHESIS BY USE OF SUCH COMPOUNDS

**FIRST
INFORMATION DISCLOSURE STATEMENT
TRANSMITTAL LETTER**

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

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- ☒ No additional fee for submission of an IDS is required.
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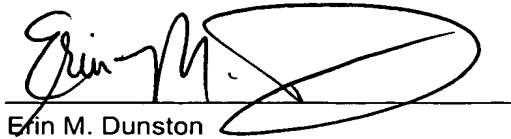
The Director is hereby authorized to charge any appropriate fees under 37 C.F.R. §§ 1.16, 1.17 and 1.21 that may be required by this paper, and to credit any overpayment, to Deposit Account No. 02-4800. This paper is submitted in duplicate.

Respectfully submitted,

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Date: May 28, 2004



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Jay S. TUNG <i>et al.</i>)	Group Art Unit: Unassigned
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COMPRISING SAME AND METHODS FOR)	
INHIBITING -AMYLOID PEPTIDE RELEASE)	
AND/OR ITS SYNTHESIS BY USE OF SUCH)	
COMPOUNDS)	
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FIRST
INFORMATION DISCLOSURE STATEMENT

Assistant Commissioner for Patents
Washington, D.C. 20231

Sir:

In accordance with the duty of disclosure as set forth in 37 C.F.R. § 1.56, Applicants hereby submit the following information in conformance with 37 C.F.R. §§ 1.97 and 1.98. A copy of each of the documents cited was submitted in Application No. 09/915,342, filed July 27, 2001, and/or in Application No. 08/996,422, filed on December 22, 1997, upon which is based a claim for priority under 35 U.S.C § 120. Therefore, in accordance with 37 C.F.R. § 1.98(d), copies of the listed documents are not included.

U.S. Patents

1. U.S. Patent No. 2,938,029, issued May 24, 1960, to Brenner et al.
2. U.S. Patent No. 3,598,859, issued August 10, 1971, to Yates et al.
3. U.S. Patent No. 3,657,341, issued April 18, 1972, to Thorne.
4. U.S. Patent No. 4,080,449, issued March 21, 1978, to Croisier et al.
5. U.S. Patent No. 4,410,520, issued October 18, 1983, to Watthey.
6. U.S. Patent No. 4,460,579, issued July 17, 1984, to Karenwesky.
7. U.S. Patent No. 4,473,575, issued September 25, 1984, to Watthey.

8. U.S. Patent No. 4,477,464, issued October 16, 1984, Slade, et al.
9. U.S. Patent No. 4,666,829, issued May 19, 1987, to Glenner, et al.
10. U.S. Patent No. 4,977,168, issued December 11, 1990, to Bernat, et al.
11. U.S. Patent No. 4,997,169, issued December 11, 1990, to Bernat, et al.
12. U.S. Patent No. 5,015,639, issued May 14, 1991, to Berger et al.
13. U.S. Patent No. 5,206,235, issued April 27, 1993, to Fisher et al.
14. U.S. Patent No. 5,238,932, issued August 24, 1993, to Flynn, et al.
15. U.S. Patent No. 5,247,080, issued September 21, 1993, to Berger et al.
16. U.S. Patent No. 5,283,241, issued February 1, 1994, to Bochis, et al.
17. U.S. Patent No. 5,284,841, issued February 8, 1994, to Chu, et al.
18. U.S. Patent No. 5,324,726, issued June 28, 1994, to Bock, et al.
19. U.S. Patent No. 5,360,802, issued November 1, 1994, to Chambers, et al.
20. U.S. Patent No. 5,420,271, issued May 30, 1995, to Warchawsky, et al.
21. U.S. Patent No. 5,478,857, issued December 26, 1995, to Clemens et al.
22. U.S. Patent No. 5,486,541, issued January 23, 1996, to Sterling et al.
23. U.S. Patent No. 5,502,048, issued March 26, 1996, to Chapdelaine et al.
24. U.S. Patent No. 5,519,061, issued May 21, 1996, to Youdim et al.
25. U.S. Patent No. 5,532,415, issued July 2, 1996, to Youdim et al.
26. U.S. Patent No. 5,556,969, issued September 17, 1996, to Chambers, et al.
27. U.S. Patent No. 5,633,251, issued May 27, 1997, to Claremon, et al.
28. U.S. Patent No. 5,656,626, issued August 12, 1997, to Chapdelaine et al.
29. U.S. Patent No. 5,658,901, issued August 19, 1997, to Claremon, et al.
30. U.S. Patent No. 5,672,598, issued September 30, 1997, to De et al.
31. U.S. Patent No. 5,712,397, issued January 27, 1998, to Esser, et al.
32. U.S. Patent No. 5,770,573, issued June 23, 1998, to Arrhenius, et al.

Foreign Patents

33. Canadian Patent No. 1 063 108, published September 25, 1979.
34. European Patent No. 0 061 187, published September 29, 1982.
35. European Patent No. 0 167 919, published January 15, 1986.
36. European Patent No. 0 284 256, published September 28, 1988.
37. European Patent No. 0 349 949, published January 10, 1990.
38. European Patent No. 0 376 849, published July 4, 1990. (Abstract in English)

39. European Patent No. 0 434 360, published June 26, 1991.
40. European Patent No. 0 434 364, published June 26, 1991.
41. European Patent No. 0 434 369, published June 26, 1991.
42. European Patent No. 0 490 590, published June 17, 1992.
43. European Patent No. 0 514 133, published November 19, 1992.
44. European Patent No. 0 523 845, published January 20, 1993.
45. European Patent No. 0 549 039, published June 30, 1993.
46. European Patent No. 0 591 529, issued April 13, 1994.
47. European Patent No. 0 647 632, published April 12, 1995.
48. European Patent No. 0 652 009 A1, published June 10, 1995.
49. European Patent No. 0 667 344, published August 16, 1995.
50. European Patent No. 0 677 517 A1, published October 18, 1995.
51. European Patent No. 0 732 399 A, published September 18, 1996.
52. European Patent No. 0 778 266 A1, published November 6, 1997.
53. European Patent No. 0 810 221A, published December 3, 1997.
54. European Patent No. 0 945 445, published September 29, 1999.
55. GB 1 519 931, published January 6, 1977.
56. GB 1 519 495, published July 6, 1978.
57. GB 1 573 931, published August 18, 1980.
58. GB 2 272 439, published May 18, 1994.
59. GB 2 290 788 A, published January 10, 1996.
60. HU 71515B, published December 28, 1995 (abstract only).
61. JP 179757
62. JP 04210967 A2, published August 3, 1994.
63. JP 06145148 A2, published May 24, 1994.
64. JP 07304770 A2, published November 21, 1995.
65. JP 10072444 A2, published March 17, 1998.
66. JP 5247033, published September 24, 1993.
67. JP 6211812, published August 2, 1994.
68. JP 10101560, published April 21, 1998.
69. International Publication No. WO 92/01683, published February 6, 1992.
70. International Publication No. WO 92/11246, published July 9, 1992.
71. International Publication No. WO 92/16524, published October 1, 1992.

72. International Publication No. WO 93/19052, published September 30, 1993.
73. International Publication No. WO 93/19063, published September 30, 1993.
74. International Publication No. WO 94/00438, published January 6, 1994.
75. International Publication No. WO 94/05693, published March 17, 1994.
76. International Publication No. WO 94/04531, published March 3, 1994.
77. International Publication No. WO 94/07486, published April 14, 1994.
78. International Publication No. WO 94/10569, published May 11, 1994.
79. International Publication No. WO 94/25445, published November 10, 1994.
80. International Publication No. WO 95/03285, published February 2, 1995.
81. International Publication No. WO 95/03289, published February 2, 1995.
82. International Publication No. WO 95/03290, published February 2, 1995.
83. International Publication No. WO 95/09838, published April 13, 1995.
84. International Publication No. WO 95/14671, published June 1, 1995.
85. International Publication No. WO 95/21840, published August 17, 1995.
86. International Publication No. WO 95/23810, published September 8, 1995.
87. International Publication No. WO 95/25117, published September 21, 1995.
88. International Publication No. WO 95/25118, published September 21, 1995.
89. International Publication No. WO 95/32191, published November 30, 1995.
90. International Publication No. WO 96/05839, published February 29, 1996.
91. International Publication No. WO 96/16981, published June 6, 1996.
92. International Publication No. WO 96/19492, published June 27, 1996.
93. International Publication No. WO 96/20725, published July 11, 1996.
94. International Publication No. WO 96/22966, published August 1, 1996.
95. International Publication No. WO 96/25408, published August 22, 1996.
96. International Publication No. WO 96/29313, published September 26, 1996.
97. International Publication No. WO 96/40146, published December 19, 1996.
98. International Publication No. WO 96/40653, published December 19, 1996.
99. International Publication No. WO 96/40654, published December 19, 1996.
100. International Publication No. WO 96/40655, published December 19, 1996.
101. International Publication No. WO 96/40656, published December 19, 1996.
102. International Publication No. WO 97/16410, published May 9, 1997.
103. International Publication No. WO 97/30072, published August 21, 1997.
104. International Publication No. WO 97/38705, published October 23, 1997.

105. International Publication No. WO 98/00405, published January 8, 1998.
106. International Publication No. WO 98/04539, published
107. International Publication No. WO 98/22430, published May 28, 1998.
108. International Publication No. WO 98/22433, published May 28, 1998.
109. International Publication No. WO 98/22494, published May 28, 1998.
110. International Publication No. WO 98/25911, published June 19, 1998.
111. International Publication No. WO 98/25930, published June 18, 1998.
112. International Publication No. WO 98/28268, published July 2, 1998.
113. International Publication No. WO 98/38177, published September 3, 1998.

Non-Patent Publications

114. Akhatar, et al., "Bicyclic Imides with Bridgehead Nitrogen..", *J. Org. Chem.*, 55: pp. 5222-5225 (1990).
115. Aquino, et al. "Discovery of 1,5-Benzodiazepines with Peripheral Cholecystokinin (CCK-A) Receptor Agonist Activity. 1. Optimization of the Agonist "Trigger." *J. Med. Chem.* 39: 562-569 (1996).
116. Arienti, et al. "Regioselective Electrophilic Alkylation of Anilines with Phanylacetylene in the Presence of Montmorillonite KSF." *Tetrahedron* 53(10): 3795-3804 (1997).
117. Armstrong, et al., "An Efficient Asymmetric Synthesis of (R)-3-Amino-2,3,4,5-tetrahydro-1H-[1]benzazepin-2-one", *Tetrahedron Letters*, 35: pp. 3239-3242 (1994).
118. Barton, et al., "A New Rearrangement of Ketonic Nitrones...", *J. Chem. Soc.*, pp. 1764-1767 (1975).
119. Ben-Ishai, et al., "Intra vs Intermolecular Amidoalkylation of Aromatics", *Tetrahedron*, 43:2, pp. 439-450 (1987).
120. Blade-Font, "Facile Synthesis of -, -, and -lactams by Cyclodehydration of -amino Acids on Alumina or Silica Gel", *Tetrahedron Letters*, 21: 2443-2446 (1980).
121. Bock, et al. "Synthesis and Resolution of 3-Amino-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones." *J. Org. Chem.* 52: 3232-3239 (1987).
122. Bock, e tal. "An Expedient Synthesis of 3-Amino-1,3-Dihydro-5-Phenyl-2H-1,4-Benzodiazepin-2-one." *Tet. Lets.* 28(9): 939-942 (1987).
123. Bock, et al. "Selective Non-Peptide Ligands for an Accommodating Peptide Receptor. Imidazobenzodiazepines as Potent Cholecystokinin Type B Receptor Antagonists." *Bioorg. and Med. Chem. Lets.* 2(9):987-998 (1994).

124. Burkholder, et al., "The Synthesis of 6-Amino-5-Oxo-7-Phenyl-1,4-Oxazepines As Conformationally Constrained *Cauche* (-) Dipeptide Mimetics", *Biog. Med. Chem. Letter*, 2: p. 231 (1993).
125. Busacca, et al., "Synthesis of Novel Tetrahydrobenzazepinones", *Tetrahedron Letters*, 33:2, pp. 165-168 (1992).
126. Butcher, et al., "Preparation of 3-Amino-1,4-Benzodiazepin-2-Ones Via Direct Azidation with Trisyl Azide", *Tetrahedron Letters*, 37:37, pp. 6685-6688 (1996).
127. Brown, et al. "A Revision of the structure of "7-Phenyloxindole"; Photochemical Synthesis and Pyrolytic Behaviour of Authentic 7-Phenyloxindole." *Tet. Lets.* 8: 667-670 (1971).
128. Chambers, et al. L-708,474: the C5-Cyclohexyl Analogue of L-365,260, A Selective High Affinity Ligand for the CCKB/Gastrin Receptor." *Bioorg. and Med. Chem. Letts.* 3(10):1919-1924 (1993).
129. Chartier-Harlin, et al., "Early-onset Alzheimer's disease caused by mutations at codon 717 of the β -Amyloid precursor protein gene.", *Nature*. 353:31, pp. 844-846 (1991).
130. Citron, et al., "Mutation of the β -amyloid precursor protein in familial Alzheimer's disease increases β -amyloid protein production.", *Nature* 360:672-674 (1992).
131. Clark, et al., "Effects of Remote *N*-(*tert*-Butoxycarbonyl) Groups on Heteroatom Directed Lithiation at Benzylic Positions", *Tetrahedron*, 49:7, pp. 1351-1356 (1993).
132. Colombo, et al., "Synthesis of 7,5-Fused Bicyclic Lactams by Stereoselective Radical Cyclization", *Tetrahedron Letters*, 35:23, pp. 4031-4034 (1994).
133. Cordell. "B-Amyloid Formation as a Potential Therapeutic Target for Alzheimer's Disease." *Ann. Rev. Pharmacol. Toxicol.* 34:69-89 (1994).
134. Cornille, et al., "Electrochemical Cyclization of Dipeptides Toward Novel Cyclic, Reverse-Turn Peptidomimetics", *J. Am. Chem. Soc.*, 117: pp. 909-917 (1995).
135. Crombie, et al., "Transamidation Reactions of β -Lactams", *Tetrahedron Letters*, 27:42, pp. 5151-5154 (1986).
136. Curran, et al., "A Short synthesis of Bicyclic Dipeptides Corresponding to Xxx-L-Pro and Xxx-D-Pro Having Constrained *Cis*-Proline Amides", *Tetrahedron Letters*, 36, pp. 191-194 (1995).
137. Das, et al, "Dual Metalloprotease Inhibitors IV", *Biorg. Med. Chem. Lett.*, 4:18, pp. 2193-2198 (1994).
138. Desai, et al., "Polymer Bound EDC (P-EDC): A convenient Reagent for Formation of An Amide", *Tetrahedron Letters*, 34:48, pp. 7685-7688 (1993).
139. Dickerman, et al., "Studies in Piperidone Chemistry", *J. Org. Chem.*, 14, p. 530-536 (1949).

140. Dickerman, et al., "The Schmidt Reaction with 2,2,6-Trimethyl-And 1,3-Dimethyl-4-Piperidones", *J. Org. Chem.*, 20: p. 206-209 (1955).
141. Dickerman, et al., "The Schmidt Reaction with 3-Ethoxycarbonyl-4-Piperidones and the synthesis of six 5-homo-piperazinones", *J. Org. Chem.*, 19, p. 1855-1861 (1954).
142. Donaruma, et al., Organic Reactions, Ch. 1, "The Beckmann Rearrangement", pp. 1-156 (1960).
143. Edwards, et al., "Cyclization and Fragmentation of *N*-Chloro Lactams", *Can. J. Chem.*, 49: pp. 1648-1658 (1971).
144. Evans, , et al. "Assymetric Synthesis of α -Amino Acids, Electrophilic Azidation of Chiral Imide Enolates, a Practical Approach to the Synthesis of (R)- and (S)- Azido Carboxylic Acids." *J. Am. Chem. Soc.* 112: 4011-1030.
145. Evans, et al. "Methods for Drug Discovery: Development of Potent, Selective Orally Effective Cholecystokinin Antagonists." *J. Med. Chem.* 31:2235-2246 (1988).
146. Evans, et al. "Molecular Mimicry and the Design of Peptidomimetics." *Molecular Mimicry in Health and Disease*. (A. Lernmark, et al., eds.) Elsevier Science Publishers B.v. (Biomedical Division) (1988) pp. 23-34.
147. Finizia, et al. "Synthesis and Evaluation of Novel 1,5-Benzodiazepines as potent and selective CCK-B Ligands, Effect of the Substitution of the N-5 Phenyl with Alkyl Groups." *Bioorg. & Medicinal Chemistry Letters*. 6(24):2957-2962 (1996).
148. Flynn et al., "Applications of a Conformationally Restricted Phe-Leu Dipeptide Mimetic to the Design of a Combined Inhibitor of Angiotensin I-Converting Enzyme and Neutral Endopeptidase 24.11", *J. Med. Chem.*, 36: pp. 2420-2423 (1993).
149. Freidinger et al, "Protected Lactam-Bridged Dipeptides for Use as Conformational Constrains in Peptides", *J. Org. Chem*, 47: pp. 104-109 (1982).
150. Gaetzi, "Fungicidal Amino azacycloheptanones", *Chem. Abs.*, 66: 28690m.
151. Games, et al., "Alzheimer-type Neuropathology In Transgenic Mice Overexpressing V717F - amyloid Precursor Protein", *Letters to Nature*, 373: pp. 523-527 (1995).
152. Glenner, et al. "Alzheimer's Disease: Initial Report of the Purification and Characterization of a Novel Cerebrovascular Amyloid Protein." *Biochem. Biophys. Res. Commun.* 120(3): 885-890 (1984).
153. Goate, et al. "Segregation of a missense mutation in the amyloid precursor protein gene with familial Alzheimer's disease." *Letters to Nature*. 349: 704-706 (1991)
154. Gracias, et al., "Efficient Nitrogen Ring-Expansion Process Facilitated by in Situ Hemiketal Formation", *J. Am. Chem. Soc.*, 117: pp. 8047-8048 (1995).

155. Grunewald, et al., "Effect of Ring Size or an Additional Heteroatom on the Optency and Selectivity of Bicyclic Benzylamine-Type Inhibitors of Phenylethanolamine *N*-Methyltransferase", *J. Med. Chem.*, 39, pp. 3539 (1996).
156. Hansen, et al., "Re-examination and further Development of a Precise and Rapid Dye Method for Measuring Cell Growth", *J. Immun. Meth.*, 119: pp. 203-210 (1989).
157. Hart, et al., "The Ester Enolate-Imine Condensation Route to γ -Lactams", *Chem. Rev.*, 89: pp. 1447-1465 (1989).
158. Herschmann, "Recherches sur la nature du Methonitrile de Wallach", *Helv. Chim. Acta*, 7:329, p. 2537-2547 (1949).
159. Hirst, et al. "Discovery of 1,5-Benzodiazepines with Peripheral Cholecystokinin (CCK-A) Receptor Agonists Activity (II): Optimization of the C3 Amino Substituent." *J. Med. Chem.* 39: 5236-5245 (1996).
160. Hofmann, et al. "Interactions of Benzodiazepine Derivatives with Annexins." *J. Biol. Chem.* 273(5):2885-2894 (1998).
161. Hoffman, et al., "Efficient Synthesis of *N*-Substituted Lactams from (*N*-Arylsulfonyloxy) Amines and Cyclic Ketones", *Tetrahedron Letters*, 30: pp. 4207-4210 (1989).
162. Hoffman, et al., "Synthesis and Structure of 7-Methyl-and 7-Pheynl-1,2,3,4-Tetrahydro-1,4-Diazepin-5-ones", *Tetrahydrodiazepinones.*, 27: p. 3565 (1962).
163. Holladay, et al., "Synthesis of γ -Benzyl γ -Lactam, γ -Benzyl δ -Lactam and γ -Benzylproline Derivatives as Conformationally Restricted Analogues of Phenylalaninamide", *J. Org. Chem.*, 56: 3900-3905 (1991).
164. Hu, et al., "Two Efficient Syntheses of (+)-*anti*-*N*-Benzyl-3-Amino-4-Hydroxyhexahydroazepine", *Tetrahedron Letters*, 36:21, pp. 3659-3662 (1995).
165. Itoh, K., "Synthesis and Antiotensin Converting Enzyme-Inhibitory Activity of 1,5-benzothiazine...", *Chemical Abstracts*, Vol. 111, No. 15, 10/9/89, Columbus, OH, Astract No. 126464h.
166. Johnson-Wood, et al. "Amyloid precursor protein processing and A₄₂ deposition in a transgenic mouse model of Alzheimer's disease." *PNAS USA*. 94: 1550-1555 (1997).
167. Katrizky, et al. "Benzodiazepine Derivatives with Annexins." *J. Biol. Chem.* 273(5): 2885-2894 (1998).
168. Kawase, et al., "Electrophilic Aromatic Substituion with *N*-Methoxy-*N*-Acylnitrenium Ions Generated from *N*-Chloro-*N*-Methoxyamies", *J. Org. Chem.*, 54: pp. 3394-3403 (1989).
169. King, et al., "Iodotrimthylsilane-Mediated 2-Mononhalogenation of 4-aza-5 α -androstan-3-one Steriods", *J. Org. Chem.* 58: pp. 3384 (1993).

170. Kitagawa, et al., "Structural Analysis of β -Turn Mimics Containing a Substituted 6-Aminocaproic Acid Linker", *J. Am. Chem. Soc.*, 117: pp. 5169-5178.
171. Klolc, "Amino Acids and Peptides LXXXIX Synthesis of L-4-Azalysine, D-4-Azalsine, and L-4-Azalsine0[6-14C]" *Coll. Czech. Chem. Comm.*, 34, pp. 630 (1969).
172. Kametani, et al., "A Simple Synthesis of 4-Thiazolidones, Tetrahydro-1,3-Thiazin-4-One and Hexahydro-1,3-Thiazepin-4-Ones from Amide-Thiols", *Heterocycles*, 9: pp. 831-840 (1978).
173. Krimm, "Uber Isonitron", *Chem. Ber.*, 91: p. 1057 (1958).
174. Krow, et al., "Regioselective Functionalization", *J. Org. Chem.*, 61: pp. 5574-5580 (1996).
175. Ksander, G.M., et al. "Dual Angiotensin Converting Enzyme/Thromboxane Synthase Inhibitors.", *J. Med. Chem.* 37: 1823-1832 (1994).
176. Kukolja, et al., "Orally Absorbable Cephalosporin Antibiotics", *J. Med. Chem.*, 28:12, pp. 1886 (1985).
177. Losse, G., et al., "Synthese Des Depsipeptides Valinomycin", *Tetrahedron*, 27, pp. 1423-1434 (1971).
178. Lowe, et al. "A Water Soluble Benzazepine Cholecystokinin-B-Receptor Antagonist." *Bioorg. and Med. Chem. Lett.* 5(17): 1933-1936 (1995).
179. Lowe, et al. "5-Phenyl-3-ureidobenzazepin-2-ones as Cholecystokinin-B Receptor Antagonists." *J. Med. Chem.* 37: 3789-3811 (1994).
180. Lowe, et al., "5,7-Diphenyl-3-Ureidohexahydroazepin-2-Ones as Cholecystokinin-B Receptor Ligands", *Bioorg & Med Chem Letters*, 4:24, pp. 2877-2882 (1994).
181. McKennis, et al., "The Synthesis of Hydroxycotinine and Studies on Its Structure", *Synthesis and Hydroxycotinine*", pp. 383-387 (1963).
182. Micouin, et al., "Asymmetric Synthesis", *Tetrahedron*, 52:22, pp. 7719-7726 (1996).
183. Miller, et al., "Application of Ring-Closing Metathesis to the Synthesis of Rigidified Amino Acids and Peptides", *J. Am. Chem. Soc.*, 118, pp. 9606-9614 (1996).
184. Milligan, et al. "Intramolecular Schmidt Reactions of Alkyl Azides with Ketones: Scope and Stereochemical Studies." *J. Am. Chem. Soc.* 117: 10449-10459 (1995).
185. Mullan, et al., "A Pathogenic Mutation for Probable Alzheimer's Disease in the APP Gene at the N-Terminus of β -Amyloid", *Nature Genetics* 1, pp. 345-347 (1992).
186. Murrell, et al., "A Mutation in the Amyloid Precursor Protein Associated with Hereditary Alzheimer's Disease", *Reports*, pp. 97-99 (1991).

187. Nedenskov, et al., "Synthesis of Potential Hypnotics", *Acta. Chem. Scand.*, 12:7, pp. 1404-1410 (1958).
188. Ogliaruso and wolfe, *Synthesis of Lactones and Lactams*, Patai, et al., Ed., J. Wiley & Sons, NY:NY, (1993).
189. Orito, et al., "Benzolactams-1", *Tetrahedron*, 36:8, pp. 1017-1021 (1980).
190. Overberger, et al., "Optically Active Polyamides", *Brooklyn Polytechnic*, pp. 3431-3435 (1963).
191. Overberger et al., "The Synthesis of Optically Active C-Methyl-2-oxoheptamethyleminines and C-Methyl-7-aminoheptanoic Acids", *Macromolecules*, 1:1, pp. 1-6 (1968).
192. Parsons, et al., "Benzolactams. A New Class of Converting Enzyme Inhibitors", *Biochem. Biophys. Res. Comm.*, 117: pp. 108-113 (1983).
193. Pedersen, et al., "Studies on Organophosphorus Compounds", *Tetrahedron*, 35: p. 2433 (1979).
194. Papadopoulos, et al. Anodic Oxidation of N-Acyl and N-Alkoxy carbonyl Dipeptide Esters as a Key Step for the Formation of Chiral Heterocyclic Synthetic Building Blocks." *Tetrahedron* 47(4/5):563-572 (1991).
195. Patel, et al. "Biological Properties of the Benzodiazepine Amidine Derivative L-740,093, a Cholecystinin-B/Gastrin Receptor Antagonist with High Affinity in vitro and High Potency in vivo." *Molecular Pharmacology*. 46:943-948 (1994).
196. Reiter, et al. "Crystallization-Induced Asymmetric Transformation: Stereospecific Synthesis of a Potent Peripheral CCK Antagonist." *J. Org. Chem.* 52: 955-957 (1987).
197. Reupple, et al., "Abberant Alkaloid Biosynthesis", *J. Am. Chem. Soc.*, 93: 7021 et seq. (1971).
198. Rittle, et al. "A New Amine Resolution Method and its Application to 3-Aminobenzodiazepines." *Tet. Lets.* 28(5):521-522 (1987).
199. Robl. "Synthesis of 2-(4-Fluorophenyl)-4-isopropyl-3-quinolinecarbaldehyde: A New Route to 2,3,4-Substituted Quinolines." *Synthesis*. 56-58 (1991).
200. Robl, et al., "Synthesis of Benzo-Fused, 7,5-and 7,6-Fused Azepinones and Conformationally Restricted Dipeptide Mimetics", *Tetrahedron Lett.*, 36:10, pp. 1593-1596 (1995).
201. Robl, et al., "Dual Metalloprotease Ihibitors", *Bioorg. Med. Che. Letter*, 4: pp. 1789-1794 (1994).
202. Rodriguez, et al., "Conformationally Restricted Analogues of Methionine", *Tetrahedron*, 52: pp. 7727-7736 (1996).

203. Satoh, et al. "New 1,4-Benzodiazepine-2-one Derivatives as Gastrin/ Cholecystokinin-B Antagonists." *Chem. Pharm. Bull.* 43(12): 2159-2167 (1995).
204. Satyanarayana, et al. "Carbonylation of Benzyl Halides Using CoCl₂/NaBH₄/ CO/NaOH Reagent System." *Tet. Lets.* 28(23): 2633-2636 (1987).
205. Sekakida, et al., "Studies on Seven-membered Heterocyclic Compounds Containing Nitrogen", *Bull. Chem. Soc. Japan*, 44: pp. 478-480 (1971).
206. Selkoe, et al. "Amyloid Protein and Alzheimer's Disease." *Scientific American.* 68-78 (1991).
207. Selkoe, et al. "The Molecular Pathology of Alzheimer's Disease." *Neuron.* 6:487-498 (1991).
208. Semple, et al. "Design, Synthesis, and Evolution of a Novel, Selective, and Orally Bioavailable Class of Thrombin Inhibitors: P1-Argininal Derivatives Incorporating P3-P4 Lactam Sulfoamide Moieties." *J. Med. Chem.* 39: 4531-4536 (1996).
209. Semple, et al. "A Facile Large Scale Synthesis of Optically Active 3-amino-5-(2-phenyl)-1,4-Benzodiazepin-2-one Derivatives." *Synthetic Communications.* 26(4): 721-727 (1996).
210. Seubert, P. *Nature* 359: 325-327 (1992).
211. Sherrill, et al. "An Improved Synthesis and Resolution of 3-Amino-1,3 dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones." *J. Org. Chem.* 60:730-734 (1995).
212. Shirota, et al., "Potential Inhibitors of Collagen Biosynthesis", *J. Med. Chem.*, 20: pp. 1623-1627 (1977).
213. Showell, et al. "High Affinity and Potent, Water-Soluble 5-Amino-1,4-Benzodiazepine CCKB/Gastrin Receptor Antagonists Containing a Cationic Solubilizing Group." *J. Med. Chem.* 37:719-721 (1994).
214. Skiles, et al., "Elastase Inhibitors Containing Conformationally Restricted Lactams", *Bioorg. Med. Chem. Letter*, 3: pp. 773-778 (1993).
215. Slusarchyk, et al., "Dual Metalloprotease Inhibitors.V.", *Bioorg. med. Chem. Lett.*, 5: pp. 753-758 (1995).
216. Smith, et al. " -APP Processing as a Therapeutic Target for Alzheimer's Disease." *Current Pharmaceutical Design.* 3:439-445 (1997).
217. Smith, et al., "The Curtius Reaction", Organic Reactions, Ch. 9, pp. 337-449 (1946).
218. Stewart. "Syntheses of L-Kynurenine Peptides Conducted Without Masking the Side-Chain Amino Group," *Aust. J. Chem.* 33: 633-640 (1980).

219. Suda, et al., "Metalloporphyrin-catalysed Rearrangement of Oxaziridines", *J. Chem. Soc. Chem. Comm.*, pp. 949-950 (1994).
220. Thomas, et al, "Nuclear Magnetic Resonance Studies and Conformational Analysis of Bicyclic Inhibitors of Angiotensin-converting Enzyme", *J. Chem. Soc. Perkin II*, 747 (1986).
221. Ugi, et al., "Ugi Reactions with Trifunctional α -Amino Acids, Aldehydes, Isocyanides and Alcohols", *Tetradedron*, 52:35, pp. 11657-11664 (1996).
222. Van der Steen, et al., "Synthesis of 3-Amino-2-Azetidinones: A Literature Survey", *Tetrahedron Letters*, 47: pp. 7503-7524 (1991).
223. Van Niel, et al. "CCK_B Selective Receptor Ligands: Novel 1,3,5-Trisubstituted Benzazepin-2-ones." *Bioorganic & Medicinal Chemistry Letters*. 5(13):1421-1426 (1995).
224. Varnavas, et al. "Synthesis of New Benzodiazepine Derivatives as Potential Cholecystokinin Antagonists." *Il Farmaco*. 46(2):391-401 (1991).
225. Vedejs, et al., "Synthesis of N-Methoxy and N-H Aziridines from Alenes", *Tetradedron Letters*, 33: pp. 3261-3264 (1992).
226. Wada, et al., "Stereospecific and Stereoselective Reactions", *Bull. Chem. Soc. Japan*, 46: pp. 2833-2835 (1973).
227. Waldmann, et al. "Selective Enzymatic Removal of Protecting Groups: The Phenylacetamide as Amino Protecting Group in Phosphopeptide Synthesis." *Tet. Lets.* 37(48): 8725-8728 (1996).
228. Warshawsky, et al. "The Synthesis of Aminobenzazepinones as Anti-Phenylalanine Dipeptide Mimics and Their Use in NEP Inhibition." *Bioorg. & Med. Chem. Lets.* 6(8): 957-962 (1996).
229. Wasserman, et al., "Total Synthesis of (+)-Dihydropheriphylline", *J. Am. Chem. Soc.*, 103, p. 461-462 (1981).
230. Watthey, et al., "Synthesis and Biological Properties of (Carboxyalkyl)amino-Substituted Bicyclic Lactam Inhibitors of Angiotensin converting Enzyme", *J. Med. Chem.*, 28: pp. 1511-1516 (1985).
231. Wheeler, et al., "Formation and Photochemical Wolff Rearrangement of Cyclic α -Diazo Ketones", *Organic Syntheses*, Coll. Vol. VI, p. 840.
232. Wolff, "The Schmidt Reaction", Organic Reactions, ch. 8, pp. 307-336 (1946).
233. Yakoo, et al., "Studies on Seven-Membered Heterocyclic Compounds Containing Nitrogen", *Bull. Chem. Soc. Japan*, 29: p. 631 (1956).
234. Yanganasawa, et al., "Angiotensin-converting Enzyme Inhibitors", *J. Med. Chem.*, 30: p. 1984-1991 (1987).

235. Zoller, et al. "Aminoalkylation of Cercaptans with Glyoxylic Acid Derivatives."
Tetrahedron. 31: 863-866 (1973).

To assist the Examiner, the documents are listed on the attached form PTO-1449s. It is respectfully requested that an Examiner-initialed copy of this form be returned to the undersigned.

Respectfully submitted,

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Substitute for form 1449A/PTO	ATTORNEY'S DKT No.	APPLICATION No.
	034074-793	10/777,247
	APPLICANT	
	Jing WU <i>et al.</i>	
FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT	FILING DATE	GROUP
	February 13, 2004	Unassigned



U.S. PATENT DOCUMENTS

Examiner Initials	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication (MM-DD-YYYY)
	Number	Kind Code (if known)		
	2,938,029		Brenner, et al.	05-24-1960
	3,598,859		Yates, et al.	08-10-1971
	3,657,341		Thorne	04-18-1972
	4,080,449		Croisier, et al.	03-21-1978
	4,410,520		Watthey	10-18-1983
	4,460,579		Karenwesky	07-17-1984
	4,473,575		Watthey	09-25-1984
	4,477,464		Slade, et al.	10-16-1984
	4,666,829		Glennner, et al.	05-19-1987
	4,977,168		Bernat, et al.	12-11-1990
	4,977,169		Bernat, et al.	12-11-1990
	5,015,639		Berger, et al.	05-14-1991
	5,206,235		Fisher, et al.	04-27-1993
	5,238,932		Flynn, et al.	08-24-1993
	5,247,080		Berger, et al.	09-21-1993
	5,283,241		Bochis, et al.	02-01-1994
	5,284,841		Chu, et al.	02-08-1994
	5,324,726		Bock, et al.	06-28-1994
	5,360,802		Chambers, et al.	11-01-1994
	5,420,271		Warchawsky, et al.	05-30-1995
	5,478,857		Clemens, et al.	12-26-1995
	5,486,541		Sterling, et al.	01-23-1996
	5,502,048		Chapdelaine, et al.	03-26-1996
	5,519,061		Youdim, et al.	05-21-1996
	5,532,415		Youdim, et al.	07-02-1996
	5,556,969		Chambers, et al.	09-17-1996
	5,633,251		Claremon, et al.	05-27-1997
	5,656,626		Chapdelaine, et al.	08-12-1997
	5,658,901		Claremon, et al.	08-19-1997
	5,672,598		De, et al.	09-30-1997
	5,712,397		Esser, et al.	01-27-1998
	5,770,573		Arrhenius, et al.	06-23-1998

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Substitute for form 1449A/PTO FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT	ATTORNEY'S DKT No. 034074-793	APPLICATION No. 10/777,247
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	FILING DATE February 13, 2004	GROUP Unassigned

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FOREIGN PATENT DOCUMENTS						
Examiner Initials	Foreign Patent Document		Country	Date of Publication (MM-DD-YYYY)	Translation	
	Number	Kind Code (if known)			Yes	no
	1063108		Canada	09-25-1979		
	0061187		Europe	09-29-1982		
	0167919		Europe	01-15-1986		
	0284256		Europe	09-28-1988		
	0349949		Europe	01-10-1990		
	0376849		Europe	07-04-1990		
	0434360		Europe	06-26-1991		
	0434364		Europe	06-26-1991		
	0434369		Europe	06-26-1991		
	0490590		Europe	06-17-1992		
	0514133		Europe	11-19-1992		
	0523845		Europe	01-20-1993		
	0549039		Europe	06-30-1993		
	0591529		Europe	04-13-1994		
	0647632		Europe	04-12-1995		
	0652009		Europe	06-10-1995		
	0667344		Europe	08-16-1995		
	0677517		Europe	10-18-1995		
	0732399		Europe	09-18-1996		
	0778266		Europe	11-06-1997		
	0810221		Europe	12-03-1997		
	0945445		Europe	09-29-1999		
	1519931		Great Britain	01-06-1977		
	1519495		Great Britain	07-06-1978		
	1573931		Great Britain	08-18-1980		
	2272439		Great Britain	05-18-1994		
	2290788		Great Britain	01-10-1996		
	71515B		Hungary (abstract)	12-28-1995		
	179757		Japan			
	04210967A2		Japan	08-03-1994		
	06145148A2		Japan	05-24-1994		
	07304770A2		Japan	11-21-1995		
	10072444A2		Japan	03-17-1998		

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	5247033		Japan	09-24-1993		
	6211812		Japan	08-02-1994		
	10101560		Japan	04-21-1998		
	92/01683		WIPO	02-06-1992		
	92/11246		WIPO	07-09-1992		
	92/16524		WIPO	10-01-1992		
	93/19052		WIPO	09-30-1993		
	93/19063		WIPO	09-30-1993		
	94/00438		WIPO	01-06-1994		
	94/05693		WIPO	03-17-1994		
	94/04531		WIPO	03-03-1994		
	94/07486		WIPO	04-14-1994		
	94/10569		WIPO	05-11-1994		
	94/25445		WIPO	11-10-1994		
	95/03285		WIPO	02-02-1995		
	95/03289		WIPO	02-02-1995		
	95/03290		WIPO	02-02-1995		
	95/09838		WIPO	04-13-1995		
	95/14671		WIPO	06-01-1995		
	95/21840		WIPO	08-17-1995		
	95/23810		WIPO	09-08-1995		
	95/25117		WIPO	09-21-1995		
	95/25118		WIPO	09-21-1995		
	95/32191		WIPO	11-30-1995		
	96/05839		WIPO	02-29-1996		
	96/16981		WIPO	06-06-1996		
	96/19492		WIPO	06-27-1996		
	96/20725		WIPO	07-11-1996		
	96/22966		WIPO	08-01-1996		
	96/25408		WIPO	08-22-1996		
	96/29313		WIPO	09-26-1996		
	96/40146		WIPO	12-19-1996		
	96/40653		WIPO	12-19-1996		
	96/40654		WIPO	12-19-1996		
	96/40655		WIPO	12-19-1996		
	96/40656		WIPO	12-19-1996		
	97/16410		WIPO	05-09-1997		
	97/30072		WIPO	08-21-1997		

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	97/38705		WIPO	10-23-1997		
	98/00405		WIPO	01-08-1998		
	98/04539		WIPO	02-05-1998		
	98/22430		WIPO	05-28-1998		
	98/22433		WIPO	05-28-1998		
	98/22494		WIPO	05-28-1998		
	98/25911		WIPO	06-18-1998		
	98/25930		WIPO	06-18-1998		
	98/28268		WIPO	07-02-1998		
	98/38177		WIPO	09-03-1998		

NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Include name of author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.
	AKHATAR, et al. "Bicyclic Imides with Bridgehead Nitrogen..", <i>J. Org. Chem.</i> , 55: 5222-5225 (1990).
	AQUINO, et al. "Discovery of 1,5-Benzodiazepines with Peripheral Cholecystokinin (CCK-A) Receptor Agonist Activity. 1. Optimization of the Agonist "Trigger." <i>J. Med. Chem.</i> 39: 562-569 (1996).
	ARIENTI, et al. "Regioselective Electrophilic Alkylation of Anilines with Phanylacetylene in the Presence of Montmorillonite KSF." <i>Tetrahedron</i> 53(10): 3795-3804 (1997).
	ARMSTRONG, et al. "An Efficient Asymmetric Synthesis of (R)-3-Amino-2,3,4,5-tetrahydro-1H-[1]benzazepin-2-one", <i>Tetrahedron Letters</i> , 35: 3239-3242 (1994).
	BARTON, et al. "A New Rearrangement of Ketonic Nitrones..." <i>J. Chem. Soc.</i> 1764-1767 (1975).
	BEN-ISHAÏ, et al., "Intra vs Intermolecular Amidoalkylation of Aromatics", <i>Tetrahedron</i> , 43(2):439-450 (1987).
	BLADE-FONT. "Facile Synthesis of γ -, δ -, and ϵ -lactams by Cyclodehydration of ω -amino Acids on Alumina or Silica Gel." <i>Tetrahedron Letters</i> 21: 2443-2446 (1980).
	BOCK, et al. "Synthesis and Resolution of 3-Amino-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones." <i>J. Org. Chem.</i> 52: 3232-3239 (1987).
	BOCK, et al. "An Expedient Synthesis of 3-Amino-1,3-Dihydro-5-Phenyl-2H-1,4-Benzodiazepin-2-one." <i>Tet. Lets.</i> 28(9): 939-942 (1987).
	BOCK, et al. "Selective Non-Peptide Ligands for an Accommodating Peptide Receptor. Imidazobenzodiazepines as Potent Cholecystokinin Type B Receptor Antagonists." <i>Bioorg. and Med. Chem. Lets.</i> 2(9):987-998 (1994).
	BURKHOLDER, et al. "The Synthesis of 6-Amino-5-Oxo-7-Phenyl-1,4-Oxazepines As Conformationally Constrained <i>Cauche</i> (-) Dipeptide Mimetics." <i>Biog. Med. Chem. Letter</i> 2: 231 (1993).
	BUSACCA, et al. "Synthesis of Novel Tetrahydrobenzazepinones." <i>Tetrahedron Letters</i> 33(2):

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. SEND TO: Assistant Commissioner for Patents, Washington, D.C. 20231.

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	FILING DATE February 13, 2004	GROUP Unassigned

FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT

	165-168 (1992).
	BUTCHER, et al. "Preparation of 3-Amino-1,4-Benzodiazepin-2-Ones Via Direct Azidation with Trisyl Azide." <i>Tetrahedron Letters</i> , 37(37): 6685-6688 (1996).
	BROWN, et al. "A Revision of the structure of "7-Phenyloxindole"; Photochemical Synthesis and Pyrolytic Behaviour of Authentic 7-Phenyloxindole." <i>Tet. Lets.</i> 8: 667-670 (1971).
	CHAMBERS, et al. "L-708,474: the C5-Cyclohexyl Analogue of L-365,260, A Selective High Affinity Ligand for the CCKB/Gastrin Receptor." <i>Bioorg. and Med. Chem. Letts.</i> 3(10):1919-1924 (1993).
	CHARTIER-HARLIN, et al. "Early-onset Alzheimer's disease caused by mutations at codon 717 of the β -Amyloid precursor protein gene." <i>Nature</i> . 353(31): 844-846 (1991).
	CITRON, et al. "Mutation of the β -amyloid precursor protein in familial Alzheimer's disease increases β -amyloid protein production." <i>Nature</i> 360:672-674 (1992).
	CLARK, et al. "Effects of Remote <i>N</i> -(<i>tert</i> -Butoxycarbonyl) Groups on Heteroatom Directed Lithiation at Benzylic Positions." <i>Tetrahedron.</i> , 49(7): 1351-1356 (1993).
	COLOMBO, et al. "Synthesis of 7,5-Fused Bicyclic Lactams by Stereoselective Radical Cyclization." <i>Tetrahedron Letters</i> . 35(23): 4031-4034 (1994).
	CORDELL. "B-Amyloid Formation as a Potential Therapeutic Target for Alzheimer's Disease." <i>Ann. Rev. Pharmacol. Toxicol.</i> 34:69-89 (1994).
	CORNILLE, et al. "Electrochemical Cyclization of Dipeptides Toward Novel Cicyclic, Reverse-Turn Pepidomimetics." <i>J. Am. Chem. Soc.</i> 117: 909-917 (1995).
	CROMBIE, et al. "Transamidation Reactions of β -Lactams." <i>Tetrahedron Letters</i> . 27(42): 5151-5154 (1986).
	CURRAN, et al. "A Short synthesis of Bicyclic Dipeptides Corresponding to Xxx-L-Pro and Xxx-D-Pro Having Constrained <i>Cis</i> -Proline Amides." <i>Tetrahedron Letters</i> . 36: 191-194 (1995).
	DAS, et al. "Dual Metalloprotease Inhibitors IV", <i>Biorg. Med. Chem. Lett.</i> 4(18): 2193-2198 (1994).
	DESAI, et al. "Polymer Bound EDC (P-EDC): A convenient Reagent for Formation of An Amide." <i>Tetrahedron Letters</i> . 34(48):7685-7688 (1993).
	DICKERMAN, et al. "Studies in Piperdidone Chemisty." <i>J. Org. Chem.</i> 14: 530-536 (1949).
	DICKERMAN, et al. "The Schmidt Reaction with 2,2,6-Trimethyl-And 1,3-Dimethyl-4-Piperidones." <i>J. Org. Chem.</i> 20: 206-209 (1955).
	DICKERMAN, et al. "The Schmidt Reaction with 3-Ethoxycarbonyl-4-P[Peripdones and the synthesis of six 5-homo-piperazinones." <i>J. Org. Chem.</i> 19: 1855-1861 (1954).
	DONARUMA, et al. <u>Organic Reactions</u> , Ch. 1, "The Beckmann Rearrangement", pp. 1-156 (1960).
	EDWARDS, et al. "Cyclization and Fragmentation of <i>N</i> -Chloro Lactams." <i>Can. J. Chem.</i> 49: 1648-1658 (1971).
	EVANS, et al. "Assymetric Synthesis of -Amino Acids, Electrophilic Azidation of Chiral Imide Enolates, a Practical Approach to the Synthesis of (R)- and (S)- Azido Carboxylic Acids." <i>J. Am. Chem. Soc.</i> 112: 4011-1030.

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	EVANS, et al. "Methods for Drug Discovery: Development of Potent, Selective Orally Effective Cholecystokinin Antagonists." <i>J. Med. Chem.</i> 31:2235-2246 (1988).
	EVANS, et al. "Molecular Mimicry and the Design of Peptidomimetics." <i>Molecular Mimicry in Health and Disease</i> . (A. Lernmark, et al., eds.) Elsevier Science Publishers B.v. (Biomedical Division) (1988) pp. 23-34.
	FINIZIA, et al. "Synthesis and Evaluation of Novel 1,5-Benzodiazepines as potent and selective CCK-B Ligands, Effect of the Substitution of the N-5 Phenyl with Alkyl Groups." <i>Bioorg. & Medicinal Chemistry Letters</i> . 6(24):2957-2962 (1996).
	FLYNN et al. "Applications of a Conformationally Restricted Phe-Leu Dipeptide Mimetic to the Design of a Combined Inhibitor of Angiotensin I-Converting Enzyme and Neutral Endopeptidase 24.11", <i>J. Med. Chem.</i> 36: 2420-2423 (1993).
	FREIDINGER, et al. "Protected Lactam-Bridged Dipeptides for Use as Conformational Constrains in Peptides." <i>J. Org. Chem.</i> 47: 104-109 (1982).
	GAETZI. "Fungicidal Amino azacycloheptanones." <i>Chem. Abs.</i> 66: 28690m.
	GAMES, et al. "Alzheimer-type Neuropathology In Transgenic Mice Overexpressing V717F β -amyloid Precursor Protein." <i>Letters to Nature</i> 373: 523-527 (1995).
	GLENNER, et al. "Alzheimer's Disease: Initial Report of the Purification and Characterization of a Novel Cerebrovascular Amyloid Protein." <i>Biochem. Biophys. Res. Commun.</i> 120(3): 885-890 (1984).
	GOATE, et al. "Segregation of a missense mutation in the amyloid precursor protein gene with familial Alzheimer's disease." <i>Letters to Nature</i> . 349: 704-706 (1991).
	GRACIAS, et al. "Efficient Nitrogen Ring-Expansion Process Facilitated by in Situ Hemiketal Formation." <i>J. Am. Chem. Soc.</i> 117: 8047-8048 (1995).
	GRUNEWALD, et al. "Effect of Ring Size or an Additional Heteroatom on the Optency and Selectivity of Bicyclic Benzylamine-Type Inhibitors of Phenylethanolamine <i>N</i> -Methyltransferase." <i>J. Med. Chem.</i> 39:3539 (1996).
	HANSEN, et al. "Re-examination and further Development of a Precise and Rapid Dye Method for Measuring Cell Growth." <i>J. Immun. Meth.</i> 119: 203-210 (1989).
	HART, et al. "The Ester Enolate-Imine Condensation Route to β -Lactams." <i>Chem. Rev.</i> 89: 1447-1465 (1989).
	HERSCHMANN. "Recherches sur la nature du Methonitrile de Wallach." <i>Helv. Chim. Acta</i> , 7(329): 2537-2547 (1949).
	HIRST, et al. "Discovery of 1,5-Benzodiazepines with Peripheral Cholecystokinin (CCK-A) Receptor Agonists Activity (II): Optimization of the C3 Amino Substituent." <i>J. Med. Chem.</i> 39: 5236-5245 (1996).
	HOFMANN, et al. "Interactions of Benzodiazepine Derivatives with Annexins." <i>J. Biol. Chem.</i> 273(5):2885-2894 (1998).
	HOFFMAN, et al. "Efficient Synthesis of N-Substituted Lactams from (N-Arylsulfonyloxy) Amines and Cyclic Ketones." <i>Tetrahedron Letters</i> 30:4207-4210 (1989).
	HOFFMAN, et al. "Synthesis and Structure of 7-Methyl-and 7-Pheynl-1,2,3,4-Tetrahydro-1,4-

Substitute for form 1449A/PTO	ATTORNEY'S DKT NO. 034074-793	APPLICATION NO. 10/777,247
	APPLICANT Jing WU <i>et al.</i>	
	FILING DATE February 13, 2004	GROUP Unassigned
	FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT	

	Diazepin-5-ones." <i>Tetrahydrodiazepinones</i> . 27:3565 (1962).
	HOLLADAY, et al. "Synthesis of α -Benzyl γ -Lactam, α -Benzyl δ -Lactam and α -Benzylproline Derivatives as Conformationally Restricted Analogues of Phenylalaninamide." <i>J. Org. Chem.</i> 56: 3900-3905 (1991).
	HU, et al. "Two Efficient Syntheses of (+)- <i>anti</i> -N-Benzyl-3-Amino-4-Hydroxyhexahydroazepine." <i>Tetrahedron Letters</i> 36(21):3659-3662 (1995).
	ITOH, K. "Synthesis and Antiotensin Converting Enzyme-Inhibitory Activity of 1,5-benzothiazine..." <i>Chemical Abstracts</i> . 111(15) Columbus, OH, Abstract No. 126464h.(1989).
	JOHNSON-WOOD, et al. "Amyloid precursor protein processing and A β ₄₂ deposition in a transgenic mouse model of Alzheimer's disease." <i>PNAS USA</i> . 94: 1550-1555 (1997).
	KATRIZKY, et al. "Benzodiazepine Derivatives with Annexins." <i>J. Biol. Chem.</i> 273(5): 2885-2894 (1998).
	KAWASE, et al. "Electrophilic Aromatic Substitution with <i>N</i> -Methoxy- <i>N</i> -Acylnitrenium Ions Generated from <i>N</i> -Chloro- <i>N</i> -Methoxyamides." <i>J. Org. Chem.</i> 54: 3394-3403 (1989).
	KING, et al. "Iodotrimethylsilane-Mediated 2-Monohalogenation of 4-aza-5 α -androstane-3-one Steroids." <i>J. Org. Chem.</i> 58: 3384 (1993).
	KITAGAWA, et al. "Structural Analysis of β -Turn Mimics Containing a Substituted 6-Aminocaproic Acid Linker." <i>J. Am. Chem. Soc.</i> 117: 5169-5178.
	KLOLC. "Amino Acids and Peptides LXXXIX Synthesis of L-4-Azalsine, D-4-Azalsine, and L-4-Azalsine[6-14C]." <i>Coll. Czech. Chem. Comm.</i> 34: 630 (1969).
	KAMETANI, et al. "A Simple Synthesis of 4-Thiazolidones, Tetrahydro-1,3-Thiazin-4-One and Hexahydro-1,3-Thiazepin-4-Ones from Amide-Thiols." <i>Heterocycles</i> . 9: 831-840 (1978).
	KRIMM. "Uber Isonitron." <i>Chem. Ber.</i> 91: 1057 (1958).
	KROW, et al. "Regioselective Functionalization." <i>J. Org. Chem.</i> 61: 5574-5580 (1996).
	KSANDER, G.M., et al. "Dual Angiotensin Converting Enzyme/Thromboxane Synthase Inhibitors." <i>J. Med. Chem.</i> 37: 1823-1832 (1994).
	KUKOLJA, et al. "Orally Absorbable Cephalosporin Antibiotics." <i>J. Med. Chem.</i> 28(12): 1886 (1985).
	LOSSE, G., et al. "Synthese Des Depsipeptides Valinomycin." <i>Tetrahedron</i> . 27: 1423-1434 (1971).
	LOWE, et al. "A Water Soluble Benzazepine Cholecystokinin-B-Receptor Antagonist." <i>Bioorg. and Med. Chem. Lett.</i> 5(17): 1933-1936 (1995).
	LOWE, et al. "5-Phenyl-3-ureidobenzazepin-2-ones as Cholecystokinin-B Receptor Antagonists." <i>J. Med. Chem.</i> 37: 3789-3811 (1994).
	LOWE, et al. "5,7-Diphenyl-3-Ureidohexahydroazepin-2-Ones as Cholecystokinin-B Receptor Ligands." <i>Bioorg & Med Chem Letters</i> . 4(24): 2877-2882 (1994).
	MCKENNIS, et al. "The Synthesis of Hydroxycotinine and Studies on Its Structure." <i>Synthesis and Hydroxycotinine</i> . 383-387 (1963).
	MICOUIN, et al. "Asymmetric Synthesis." <i>Tetrahedron</i> . 52(22): 7719-7726 (1996).

Substitute for form 1449A/PTO	ATTORNEY'S DKT NO. 034074-793	APPLICATION NO. 10/777,247
	APPLICANT Jing WU <i>et al.</i>	
	FILING DATE February 13, 2004	GROUP Unassigned

FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT

	MILLER, et al. "Application of Ring-Closing Methathesis to the Synthesis of Rigidified Amino Acids and Peptides." <i>J. Am. Chem. Soc.</i> 118: 9606-9614 (1996).
	MILLIGAN, et al. "Intramolecular Schmidt Reactions of Alkyl Azides with Ketones: Scope and Stereochemical Studies." <i>J. Am. Chem. Soc.</i> 117: 10449-10459 (1995).
	MULLAN, et al. "A Pathogenic Mutation for Probable Alzheimer's Disease in the APP Gene at the N-Terminus of β -Amyloid." <i>Nature Genetics</i> 1: 345-347 (1992).
	MURRELL, et al. "A Mutation in the Amyloid Precursor Protein Associated with Hereditary Alzheimer's Disease." <i>Reports.</i> 97-99 (1991).
	NEDENSKOV, et al. "Synthesis of Potential Hypnotics." <i>Acta. Chem. Scand.</i> 12(7): 1404-1410 (1958).
	OGLIARUSO, et al. <i>Synthesis of Lactones and Lactams</i> , Patai, et al., Ed., J. Wiley & Sons, NY:NY, (1993).
	ORITO, et al. "Benzolactams-1." <i>Tetrahedron.</i> 36(8):1017-1021 (1980).
	OVERBERGER, et al. "Optically Active Polyamides." <i>Brooklyn Polytechnic.</i> 3431-3435 (1963).
	OVERBERGER et al. "The Synthesis of Optically Active C-Methyl-2-oxoheptamethyleminines and C-Methyl-7-aminoheptanoic Acids." <i>Macromolecules.</i> 1(1): 1-6 (1968).
	PARSONS, et al. "Benzolactams. A New Class of Converting Enzyme Inhibitors." <i>Biochem. Biophys. Res. Comm.</i> 117:108-113 (1983).
	PEDERSEN, et al. "Studies on Organophosphorus Compounds." <i>Tetrahedron.</i> 35: 2433 (1979).
	PAPADOPOULOS, et al. "Anodic Oxidation of N-Acyl and N-Alkoxy carbonyl Dipeptide Esters as a Key Step for the Formation of Chiral Heterocyclic Synthetic Building Blocks." <i>Tetrahedron</i> 47(4/5):563-572 (1991).
	PATEL, et al. "Biological Properties of the Benzodiazepine Amidine Derivative L-740,093, a Cholecystokinin-B/Gastrin Receptor Antagonist with High Affinity in vitro and High Potency in vivo." <i>Molecular Pharmacology.</i> 46:943-948 (1994).
	REITER, et al. "Crystallization-Induced Asymmetric Transformation: Stereospecific Synthesis of a Potent Peripheral CCK Antagonist." <i>J. Org. Chem.</i> 52: 955-957 (1987).
	REUPPLE, et al. "Abberant Alkaloid Biosynthesis." <i>J. Am. Chem. Soc.</i> 93: 7021 et seq. (1971).
	RITTLE, et al. "A New Amine Resolution Method and its Application to 3-Aminobenzodiazepines." <i>Tet. Lett.</i> 28(5):521-522 (1987).
	ROBL. "Synthesis of 2-(4-Fluorophenyl)-4-isopropyl-3-quinolinecarbaldehyde: A New Route to 2,3,4-Substituted Quinolines." <i>Synthesis.</i> 56-58 (1991).
	ROBL, et al. "Synthesis of Benzo-Fused, 7,5-and 7,6-Fused Azepinones and Conformationally Restricted Dipeptide Mimetics." <i>Tetrahedron Lett.</i> 36(10): 1593-1596 (1995).
	ROBL, et al. "Dual Metalloprotease Inhibitors." <i>Bioorg. Med. Chem. Letter.</i> 4: 1789-1794 (1994).
	RODRIGUEZ, et al. "Conformationally Restricted Analogues of Methionine." <i>Tetrahedron.</i> 52: 7727-7736 (1996).
	SATOH, et al. "New 1,4-Benzodiazepine-2-one Derivatives as Gastrin/ Cholecystokinin-B

Substitute for form 1449A/PTO	ATTORNEY'S DKT No. 034074-793	APPLICATION No. 10/777,247
	APPLICANT Jing WU <i>et al.</i>	
	FILING DATE February 13, 2004	GROUP Unassigned

FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT

	Antagonists." <i>Chem. Pharm. Bull.</i> 43(12): 2159-2167 (1995).
	SATYANARAYANA, et al. "Carbonylation of Benzyl Halides Using CoCl ₂ /NaBH ₄ / CO/NaOH Reagent System." <i>Tet. Lets.</i> 28(23): 2633-2636 (1987).
	SEKAKIDA, et al. "Studies on Seven-membered Heterocyclic Compounds Containing Nitrogen." <i>Bull. Chem. Soc. Japan.</i> 44: pp. 478-480 (1971).
	SELKOE, et al. "Amyloid Protein and Alzheimer's Disease." <i>Scientific American.</i> 68-78 (1991).
	SELKOE, et al. "The Molecular Pathology of Alzheimer's Disease." <i>Neuron.</i> 6:487-498 (1991).
	SEMPLE, et al. "Design, Synthesis, and Evolution of a Novel, Selective, and Orally Bioavailable Class of Thrombin Inhibitors: P1-Argininal Derivatives Incorporating P3-P4 Lactam Sulfoamide Moieties." <i>J. Med. Chem.</i> 39: 4531-4536 (1996).
	SEMPLE, et al. "A Facile Large Scale Synthesis of Optically Active 3-amino-5-(2-phenyl)-1,4-Benzodiazepin-2-one Derivatives." <i>Synthetic Communications.</i> 26(4): 721-727 (1996).
	SEUBERT, P. <i>Nature</i> 359: 325-327 (1992).
	SHERRILL, et al. "An Improved Synthesis and Resolution of 3-Amino-1,3 dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones." <i>J. Org. Chem.</i> 60:730-734 (1995).
	SHIROTA, et al. "Potential Inhibitors of Collagen Biosynthesis." <i>J. Med. Chem.</i> 20: 1623-1627 (1977).
	SHOWELL, et al. "High Affinity and Potent, Water-Soluble 5-Amino-1,4-Benzodiazepine CCKB/Gastrin Receptor Antagonists Containing a Cationic Solubilizing Group." <i>J. Med. Chem.</i> 37:719-721 (1994).
	SKILES, et al. "Eleastase Inhibitors Containing Conformationally Restricted Lactams." <i>Bioorg. Med. Chem. Letter.</i> 3:773-778 (1993).
	SLUSARCHYK, et al. "Dual Metalloprotease Inhibitors.V.." <i>Bioorg. med. Chem. Lett.</i> 5: 753-758 (1995).
	SMITH, et al. "β-APP Processing as a Therapeutic Target for Alzheimer's Disease." <i>Current Pharmaceutical Design.</i> 3:439-445 (1997).
	SMITH, et al. "The Curtius Reaction", <i>Organic Reactions</i> , Ch. 9, pp. 337-449 (1946).
	STEWART. "Syntheses of L-Kynurenine Peptides Conducted Without Masking the Side-Chain Amino Group." <i>Aust. J. Chem.</i> 33: 633-640 (1980).
	SUDA, et al. "Metalloporphyrin-catalysed Rearrangement of Oxaziridines." <i>J. Chem. Soc. Chem. Comm.</i> 949-950 (1994).
	THOMAS, et al. "Nuclear Magnetic Resonance Studies and Conformational Analysis of Bicyclic Inhibitors of Angiotensin-converting Enzyme." <i>J. Chem. Soc. Perkin II</i> , 747 (1986).
	UGI, et al. "Ugi Reactions with Trifunctional α-Amino Acids, Aldehydes, Isocyanides and Alcohols." <i>Tetrahedron.</i> 52(35): 11657-11664 (1996).
	VAN DER STEEN, et al. "Synthesis of 3-Amino-2-Azetidinones: A Literature Survey." <i>Tetrahedron Letters.</i> 47: 7503-7524 (1991).
	VAN NIEL, et al. "CCK _B Selective Receptor Ligands: Novel 1,3,5-Trisubstituted Benzazepin-2-ones." <i>Bioorganic & Medicinal Chemistry Letters.</i> 5(13):1421-1426 (1995).

Substitute for form 1449A/PTO FIRST INFORMATION DISCLOSURE STATEMENT BY APPLICANT	ATTORNEY'S DKT No. 034074-793	APPLICATION No. 10/777,247
	APPLICANT Jing WU <i>et al.</i>	
	FILING DATE February 13, 2004	GROUP Unassigned

	VARNAVAS, et al. "Synthesis of New Benzodiazepine Derivatives as Potential Cholecystokinin Antagonists." <i>Il Farmaco</i> . 46(2):391-401 (1991).		
	VEDEJS, et al. "Synthesis of N-Methoxy and N-H Aziridines from Alenes." <i>Tetradedron Letters</i> . 33: 3261-3264 (1992).		
	WADA, et al. "Stereospecific and Stereoselective Reactions." <i>Bull. Chem. Soc. Japan</i> . 46: 2833-2835 (1973).		
	WALDMANN, et al. "Selective Enzymatic Removal of Protecting Groups: The Phenylacetamide as Amino Protecting Group in Phosphopeptide Synthesis." <i>Tet. Lets.</i> 37(48): 8725-8728 (1996).		
	WARSHAWSKY, et al. "The Synthesis of Aminobenzazepinones as Anti-Phenylalanine Dipeptide Mimics and Their Use in NEP Inhibition." <i>Bioorg. & Med. Chem. Lets.</i> 6(8): 957-962 (1996).		
	WASSERMAN, et al. "Total Synthesis of (+)-Dihydropheriphylline." <i>J. Am. Chem. Soc.</i> 103:461-462 (1981).		
	WATTHEY, et al. "Synthesis and Biological Properties of (Carboxyalkyl)amino-Substituted Bicyclic Lactam Inhibitors of Angiotensin converting Enzyme." <i>J. Med. Chem.</i> 28: 1511-1516 (1985).		
	WHEELER, et al. "Formation and Photochemical Wolff Rearrangement of Cyclic α -Diazo Ketones." <i>Organic Syntheses</i> , Coll. Vol. VI, p. 840.		
	WOLFF. "The Schmidt Reaction", <i>Organic Reactions</i> , ch. 8, pp. 307-336 (1946).		
	YAKOO, et al. "Studies on Seven-Membered Heterocyclic Compounds Containing Nitrogen." <i>Bull. Chem. Soc. Japan</i> . 29: 631 (1956).		
	YANGANASAWA, et al. "Angiotensin-converting Enzyme Inhibitors." <i>J. Med. Chem.</i> 30: 1984-1991 (1987).		
	ZOLLER, et al. "Aminoalkylation of Cercaptans with Glyoxylic Acid Derivatives." <i>Tetrahedron</i> . 31: 863-866 (1973).		
Examiner Signature		Date Considered	